TITLE . Preparation of azole ring-containing phenylcarboxylic

acids as lipid formation inhibitors

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PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan SOURCE:

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A __Q __ (CH2) n _ B __ (CH2)

AB The title compds. I [A = lower alkyl, (un)substituted Ph, (un)substituted pyridyl, in which the substituent is halo, lower alkyl, lower alkoxy, alkylamino; Q = imidazolyl, triazolyl, pyrazolyl, thiazolyl which may be substituted with lower alkyl, etc.; B = O, NR7 (R7 = H, lower alkyl); R1 = H, halo, lower alkoxy; R2 = H, lower alkyl; n = 1, 2; m = 0, 1] or their salts are prepared I or their salts inhibit fatty acid synthesis and cholesterol synthesis and are useful as hypolipemics. A THF solution of 1-(4chlorophenyl)-5-methyl-4-hydroxymethylpyrazole (preparation given) was treated with SOC12 and the resulting 1-(4-chlorophenyl)-5-methyl-4chloromethylpyrazole was treated with p-HOC6H4CO2Me to give 1-(4chlorophenv1)-5-methv1-4-(4'-methoxycarbonvlphenoxy)methylpyrazole. Similarly prepared 1-phenyl-5-methyl-4-(4'-methoxycarbonylphenoxy)methylpyra zole significantly lowered serum triglycerides and VLDL cholesterol.

225930-55-2P 225930-56-3P 225930-59-6P ΙT 225930-67-6P 225930-68-7P 225930-69-8P

225930-70-1P 225930-72-3P 325930-73-4P

225930-74-5P 225930-75-6P 225930-76-7P 225930-77-8P 225930-78-9P 225930-80-3P

225930-81-4P 225930-83-5P 225930-83-6P

225930-84-7P 225930-86-9P 225930-87-0P

225930-88-1F 225930-90-5P 225930-91-6P

225930-92-7P 225930-94-9P 225930-95-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azole ring-containing phenylcarboxylic acids as lipid formation

inhibitors)

- RN 225930-55-2 ZCAPLUS
- CN Benzoic acid, 4-[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)

- RN 225930-56-3 ZCAPLUS
- CN Benzoic acid, 4-[[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]methyl]methylamino]-, ethyl ester (9CI) (CA INDEX NAME)

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- RN 225930-59-6 ZCAPLUS
- $\texttt{CN} \quad \texttt{Benzoic acid, } 4 \texttt{[[5-methyl-1-(4-pyridinyl)-1H-pyrazol-4-yl]methoxy]-,} \\$

methyl ester (9CI) (CA INDEX NAME)

RN 225930-67-6 ZCAPLUS

RN 225930-68-7 ZCAPLUS

CN Benzoic acid, 4-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-, methyl
ester (9CI) (CA INDEX NAME)

RN 225930-69-8 ZCAPLUS

CN Benzoic acid, 4-[[1-(4-chlorophenyl)-1H-pyrazol-4-yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)

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RN 225930-70-1 ZCAPLUS

CN Benzoic acid, 4-[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]methoxy]-,
 ethyl ester (9CI) (CA INDEX NAME)

- RN 225930-72-3 ZCAPLUS
- CN Benzoic acid, 4-[[5-methyl-1-(2-pyridinyl)-1H-pyrazol-4-yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)

- RN 225930-73-4 ZCAPLUS
- CN Benzoic acid, 4-[[1-(4-methoxyphenyl)-5-methyl-1H-pyrazol-4-yl]methoxy]-,
 methyl ester (9CI) (CA INDEX NAME)

- RN 225930-74-5 ZCAPLUS
- CN Benzoic acid, 4-[[3-(dimethylamino)-1-phenyl-1H-pyrazol-4-y1]methoxy]-, methyl ester (9CI) (CA INDEX NAME)

- RN 225930-75-6 ZCAPLUS
- CN Benzoic acid, 4-[[1-(4-chlorophenyl)-5-(dimethylamino)-1H-pyrazol-4yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 225930-76-7 ZCAPLUS

CN Benzoic acid, 4-[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]methoxy]-3methoxy-, methyl ester (9CI) (CA INDEX NAME)

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RN 225930-77-8 ZCAPLUS

CN Benzoic acid, 3-chloro-4-[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4yl]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

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- RN 225930-78-9 ZCAPLUS
- CN Benzoic acid, 3-chloro-4-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

- RN 225930-80-3 ZCAPLUS
- CN Benzoic acid, 4-[[1-(4-chlorophenyl)-1H-pyrazol-4-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 225930-81-4 ZCAPLUS

CN Benzoic acid, 4-[[1-[4-(dimethylamino)phenyl]-5-methyl-1H-pyrazol-4yl]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 225930-82-5 ZCAPLUS

CN Benzoic acid, 4-[[1-methyl-5-(1H-pyrrol-1-yl)-1H-pyrazol-4-yl]methoxy]-,
methyl ester (9CI) (CA INDEX NAME)

RN 225930-83-6 ZCAPLUS

CN Benzoic acid, 4-[[5-methyl-1-(4-methylphenyl)-1H-pyrazol-4-yl]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 225930-84-7 ZCAPLUS

CN Benzoic acid, 4-[[1-(4-fluorophenyl)-5-methyl-1H-pyrazol-4-yl]methoxy]-,
 ethyl ester (9CI) (CA INDEX NAME)

RN 225930-86-9 ZCAPLUS

RN 225930-87-0 ZCAPLUS

RN 225930-88-1 ZCAPLUS

RN 225930-90-5 ZCAPLUS

CN Benzoic acid, 4-[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]methoxy]-3-methoxy- (9CI) (CA INDEX NAME)

RN 225930-91-6 ZCAPLUS

CN Benzoic acid, 3-chloro-4-[[1-(4-chloropheny1)-5-methy1-1H-pyrazol-4y1]methoxy]- (9CI) (CA INDEX NAME)

RN 225930-92-7 ZCAPLUS
CN Benzoic acid, 3-chloro-4-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-(9CI) (CA INDEX NAME)

RN 225930-94-9 ZCAPLUS

CN Benzoic acid, 4-[[[1-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]methyl]methylamino]- (9CI) (CA INDEX NAME)

RN 225930-95-0 ZCAPLUS
CN Benzoic acid, 4-[[[1-(4-chloropheny1)-5-methy1-1H-pyrazo1-4-y1]methoxy|methy1]- (9CI) (CA INDEX NAME)